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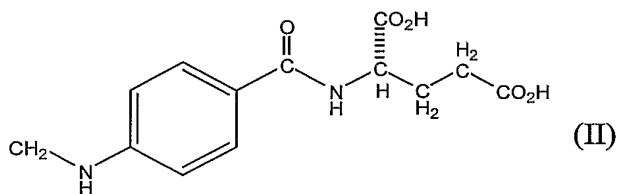
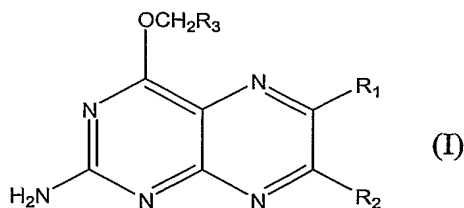
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(54) Title: 2-AMINO-O⁴-SUBSTITUTED PTERIDINES AND THEIR USE AS INACTIVATORS OF O⁶-ALKYLGUANINE-DNA ALKYLTRANSFERASE



(57) Abstract: Disclosed are pteridine derivatives of formula (I): (I), wherein, for example, R₁ and R₂ are hydrogen, C₁-C₆ alkyl, carboxyl, formyl, C₁-C₆ hydroxyalkyl, C₁-C₆ carboxyalkyl, C₁-C₆ formyl alkyl, C₁-C₆ alkoxy, acyloxy, acyloxyalkyl wherein the alkyl is C₁-C₆, halogen, or hydroxy, or a group of formula II: (II); and R₃ is (a) phenyl or (b) a cyclic group having at least one 5 or 6-membered heterocyclic ring, optionally with a carbocyclic or heterocyclic ring fused thereto, wherein each heterocyclic ring has at least one hetero atom chosen from O, N, or S; or (c) a phenyl group or a cyclic group, the cyclic group optionally with a carbocyclic or heterocyclic ring fused thereto, which is substituted with 1 to 5 substituents. Disclosed also are pharmaceutical compositions, a method of enhancing the chemotherapeutic effectiveness of cancer treatment agents, a method of deactivating the O⁶-alkylguanine-DNA alkyltransferase enzyme, and a method of inhibiting the reaction of O⁶-alkylguanine-DNA alkyltransferase enzyme with an alkylated DNA.